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Uploading C:\Documents and Settings\jlau1\My Documents\10764989 - photolabile PG\biaryl compound.str

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR

G1 NO2, X, H

G2 G1, CN, MeO, Ak

Structure attributes must be viewed using STN Express query preparation.

 $\Rightarrow$  s 11 sss sam

SAMPLE SEARCH INITIATED 08:35:20 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 557 TO ITERATE

100.0% PROCESSED 557 ITERATIONS 1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 9725 TO 12555 PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> d 12 scan

L2 1 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

IN Cytidine, N-acetyl-2'-deoxy-, 5'-[2-(5-benzoyl-2-nitrophenyl)propyl carbonate] 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI)

MF C37 H45 N6 O11 P

Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

ALL ANSWERS HAVE BEEN SCANNED

=> s 11 sss full

FULL SEARCH INITIATED 08:35:45 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 11398 TO ITERATE

100.0% PROCESSED 11398 ITERATIONS 67 ANSWERS

SEARCH TIME: 00.00.01

L3 67 SEA SSS FUL L1

=> d 13 scan

L3 67 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

IN Thymidine, 5'-[2-(6-ethyl-4-nitro[1,1'-biphenyl]-3-yl)propyl carbonate]

(9CI)

MF C28 H31 N3 O9

Absolute stereochemistry.

## \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

## HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 67 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

MF C27 H26 F N3 O10

Absolute stereochemistry.

## \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

# HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 67 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

IN Cytidine, N-acetyl-2'-deoxy-, 5'-[2-(5-benzoyl-2-nitrophenyl)propyl carbonate] 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI)

MF C37 H45 N6 O11 P

Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 67 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

IN Carbonochloridic acid, 2-(5-benzoyl-2-nitrophenyl)propyl ester

MF C17 H14 C1 N O5

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 67 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

IN Methanone, [3-(2-hydroxy-1-methylethyl)-4-nitrophenyl]phenyl-

MF C16 H15 N O4

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 67 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

IN Thymidine, 3'-[2-[2-nitro-5-(2-thienyl)phenyl]propyl carbonate] (9CI)

MF C24 H25 N3 O9 S

Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

=> b caplus
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 178.82 179.03

FULL ESTIMATED COST

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L6
            0 L5 AND PHOTO?
=> s 15 and protect?
        678121 PROTECT?
Ь7
             0 L5 AND PROTECT?
=> d 15 scan
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L5
     TCM C07D409-14
TC
     ICS A61K031-40; C07D417-14
CC
     27-11 (Heterocyclic Compounds (One Hetero Atom))
     Section cross-reference(s): 1
TI
     Preparation of heteroarylindole-1-carboxamides as cyclooxygenase-2
     inhibitors
ST
     heteroarylindolecarboxamide prepn cyclooxygenase 2 inhibitor
IT
     Analgesics
     Anti-inflammatory agents
     Antirheumatic agents
        (preparation of heteroarylindole-1-carboxamides as cyclooxygenase-2
        inhibitors)
     39391-18-9
ΤТ
     RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
     (Biological study); PROC (Process)
        (2; mediated disorders; treatment; preparation of heteroarylindole-1-
        carboxamides as cyclooxygenase-2 inhibitors)
IT
     189748-00-3P
                  189748-01-4P
                                  189748-02-5P
                                                189748-03-6P
                                                                189748-04-7P
     189748-05-8P
                    189748-06-9P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of heteroarylindole-1-carboxamides as cyclooxygenase-2
        inhibitors)
     76-83-5, Trityl chloride
                              103-71-9, Phenyl isocyanate, reactions
ΤТ
     108-59-8, Dimethyl malonate
                                  3019-71-4, Trichloroacetyl isocyanate
     5271-67-0, Thiophene-2-carbonyl chloride
                                                6165-68-0, 2-Thiopheneboronic
            61394-50-1
                         89465-97-4, 4-Bromo-2-chloro-1-nitrobenzene
     121359-48-6, Tributyl(2-thiazolyl)stannane 189748-25-2
                                                                189748-26-3,
     5-Pyrimidinecarbonyl azide
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (preparation of heteroarylindole-1-carboxamides as cyclooxygenase-2
        inhibitors)
ΙT
     189748-07-0P
                   189748-08-1P
                                 189748-09-2P
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     <u>189748-11-6P</u> <u>189748-12-7P</u> 189748-13-8P 189748-14-9P
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     (Reactant or reagent)
        (preparation of heteroarylindole-1-carboxamides as cyclooxygenase-2
        inhibitors)
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1
L5
      9 ANSWERS
                 CAPLUS COPYRIGHT 2008 ACS on STN
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IC

ICM C07D401-04

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ICS C07D401-14; C07D405-14; C07D409-14; C07D413-14; C07D417-14;
          C07D471-04; C07D487-04; C07D491-113; A61K031-4709; A61K031-496;
          A61K031-501; A61K031-506; A61K031-5355; A61K031-5377; A61K031-551;
          A61P027-02; A61P035-00; A61P043-00
CC
     28-17 (Heterocyclic Compounds (More Than One Hetero Atom))
     Section cross-reference(s): 1
TΙ
     Preparation of 3-quinoline-2(1H)-ylideneindolin-2-one derivatives as
     vascular endothelial growth factor (VEGF) inhibitors
     quinolinylideneindolinone prepn vascular endothelial growth factor
ST
     inhibitor; VEGF inhibitor quinolinylideneindolinone prepn; angiogenesis
     inhibitor quinolinylideneindolinone prepn; antitumor
     quinolinylideneindolinone prepn; triazolylethoxyquinolinylideneisoindolino
     ne prepn VEGF inhibitor
     Eye, disease
IT
        (diabetic retinopathy; preparation of 3-quinoline-2(1H)-ylideneindolin-2-one
        derivs. as vascular endothelial growth factor (VEGF) inhibitors,
        angiogenesis inhibitors, and antitumor agents)
IT
     Angiogenesis
     Angiogenesis inhibitors
     Antitumor agents
        (preparation of 3-quinoline-2(1H)-ylideneindolin-2-one derivs. as vascular
        endothelial growth factor (VEGF) inhibitors, angiogenesis inhibitors,
        and antitumor agents)
    Neoplasm
ΤТ
        (solid; preparation of 3-quinoline-2(1H)-ylideneindolin-2-one derivs. as
        vascular endothelial growth factor (VEGF) inhibitors, angiogenesis
        inhibitors, and antitumor agents)
IT
     127464-60-2, Vascular endothelial growth factor
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (preparation of 3-quinoline-2(1H)-ylideneindolin-2-one derivs. as vascular
        endothelial growth factor (VEGF) inhibitors, angiogenesis inhibitors,
        and antitumor agents)
     476654-86-1P
                   476654-93-0P
ΙT
                                   476655-05-7P
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     RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); RACT (Reactant or reagent); USES (Uses)
        (preparation of 3-quinoline-2(1H)-ylideneindolin-2-one derivs. as vascular
        endothelial growth factor (VEGF) inhibitors, angiogenesis inhibitors,
        and antitumor agents)
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 3-quinoline-2(1H)-ylideneindolin-2-one derivs. as vascular endothelial growth factor (VEGF) inhibitors, angiogenesis inhibitors, and antitumor agents)

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
   (preparation of 3-quinoline-2(1H)-ylideneindolin-2-one derivs. as vascular
   endothelial growth factor (VEGF) inhibitors, angiogenesis inhibitors,
   and antitumor agents)
                              59-48-3, Indolin-2-one
50-00-0, Formalin, reactions
              64-17-5, Ethanol, reactions 85-41-6, Phthalimide
Thioacetamide
                                     106-93-4, 1,2-Dibromoethane
100-36-7, N,N-Diethylethylenediamine
                              107-08-4, Propyl iodide
107-02-8, Acrolein, reactions
Propargyl alcohol 108-59-8, Dimethyl malonate
                                               109-89-7, Diethylamine,
           110-91-8, Morpholine, reactions
reactions
                                            123-75-1, Pyrrolidine,
reactions
           124-63-0, Methanesulfonyl chloride 141-97-9, 3-Oxobutanoic
acid ethyl ester
                  149-73-5, Methyl orthoformate
                                                  367-80-6,
4-Fluoro-3-nitrobenzoic acid ethyl ester
                                          453-71-4, 4-Fluoro-3-
nitrobenzoic acid 506-59-2, Dimethylamine hydrochloride
6-Hydroxyquinoline 593-56-6, Methoxylamine hydrochloride 598-21-0,
Bromoacetyl bromide 675-20-7, Piperidin-2-one 869-24-9
                                                            1613-37-2,
Quinoline 1-oxide 2033-24-1, Meldrum's acid
                                               2038-03-1.
2-(Morpholin-4-yl)ethylamine 4795-29-3, (Tetrahydrofuran-2-
ylmethyl)amine 5100-57-2, Quinolin-2-ylacetic acid ethyl ester
5332-24-1, 3-Bromoguinoline
                             5470-11-1, Hydroxylamine hydrochloride
6482-24-2, 1-Bromo-2-methoxyethane 7699-19-6, 6-Methoxyindolin-2-one
10238-74-1, 7-Hydroxyindolin-2-one
                                    14794-31-1
                                                 15268-31-2, 3-Pyridyl
            16588-06-0, 4-Chloro-3-nitrobenzamide
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isocyanate
N-(1,1-Dimethoxyethyl)-N,N-dimethylamine
                                          19056-40-7,
4-Bromo-3-methoxyaniline
                          22019-49-4, 2-Bromo-1-(4-chloro-3-
nitrophenyl)ethanone 23082-51-1, 1-(4-Chloro-2-nitrophenyl)ethanone
24078-12-4, 4-Bromo-2-methylbenzaldehyde 33816-43-2,
Quinoline-4-carboxaldehyde 1-oxide 38256-93-8, N-(2-Methoxyethyl)-N-
methylamine 38411-17-5, N-(2,5-Dichloro-4-nitrophenyl)acetamide
49573-30-0, Quinoline-7-carboxaldehyde
                                       49845-33-2, 2,4-Dichloro-5-
                 55552-70-0, 3-Furanylboronic acid 68255-77-6,
nitropyrimidine
4-Chloro-2-methoxy-5-nitrobenzoic acid
                                        74124-04-2, 0-
(Cyclopropylmethyl) hydroxylamine hydrochloride
                                                74731-63-8,
2-(1H-1,2,3-Triazol-1-yl)ethanol 84174-51-6
                                               99365-40-9,
6-Bromoindolin-2-one 102359-00-2, 2-Oxoindoline-5-carboxylic acid
104294-00-0, Ouinoline-7-carboxylic acid ethyl ester 201799-22-6,
[2-(1-Oxopyridin-4-yl)ethyl]carbamic acid tert-butyl ester
                                                            220389-34-4.
4-Bromo-2-methylbenzoic acid ethyl ester
                                         476659-93-5,
6-[2-(Morpholin-4-yl)ethoxy]quinoline-N-oxide
                                              476660-41-0,
4-Chloro-2-methyl-5-nitrobenzoic acid
                                      476660-49-8, 3-(5-Amino-2-
methoxyphenyl) propionic acid ethyl ester
                                          476660-57-8,
4-(4-Chloro-3-nitrophenyl)-1,3-thiazole-2-amine hydrobromide
476660-85-2, (4-Formyl-2-nitrophenyl)acetic acid
                                                  476660-95-4.
4-[[2-(2-0xoindolin-3-ylidene)-1,2-dihydroquinolin-6-
yl]carbonyl]piperazine-1-carboxylic acid tert-butyl ester
RL: RCT (Reactant); RACT (Reactant or reagent)
   (preparation of 3-quinoline-2(1H)-ylideneindolin-2-one derivs. as vascular
   endothelial growth factor (VEGF) inhibitors, angiogenesis inhibitors,
   and antitumor agents)
124-68-5P, 2-Amino-2-methylpropan-1-ol 458526-10-8P
                                                       473254-28-3P,
(1,1-Dioxotetrahydro-2H-thiopyran-4-yl)methanol 474018-94-5P,
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N, N-Diethyl-4-fluoro-3-nitrobenzamide
                                        476659-82-2P
                                                       476659-83-3P
476659-85-5P 476659-87-7P
                            476659-89-9P, N-(Cyclopropylmethoxy)-
4-fluoro-3-nitrobenzamide
                            476659-91-3P, N-[2-(Diethylamino)ethyl]-2-
oxoindoline-5-carboxamide
                            476659-95-7P
                                          476659-97-9P,
6-(2-Methoxyethoxy) quinoline
                               476659-99-1P
                                              476660-01-2P,
6-[2-(1H-1,2,3-Triazol-1-yl)ethoxy]quinoline
                                               476660-03-4P,
                             476660-05-6P 476660-07-8P,
6-(2-Bromoethoxy)quinoline
1-[2-(Quinolin-6-yloxy)ethyl]piperidin-2-one
                                               476660-09-0P,
6-(2-Bromoethoxy)quinoline-N-oxide
                                     476660-11-4P, [6-(2-
Bromoethoxy)quinolin-2-yl]acetic acid ethyl ester
476660-15-8P, 2-(4-Fluoro-3-nitrophenyl)-1,3-oxazole
                                                       476660-16-9P,
                             476660-18-1P, 3-(Quinolin-6-yl)propanal
3-(Quinolin-6-yl)propan-1-ol
                                                476660-23-8P,
476660-20-5P, 3-(Quinolin-6-yl)propionic acid
N, N-Diethyl-N-(4-fluoro-3-nitrobenzyl) amine
                                              476660-25-0P,
4-(2-0xoindolin-5-yl) butanoic acid ethyl ester
                                                 476660-26-1P
476660-28-3P, 3-(Quinolin-7-yl)propan-1-ol
                                             476660-30-7P.
6-(3-Hydroxy-1-propynyl)quinoline
                                    476660-32-9P, 4-(4-Chloro-3-
nitrophenyl)-2-methyl-1,3-thiazole
                                     476660-34-1P, 2-(4-Fluoro-3-
nitrophenyl)-4,4-dimethyl-4,5-dihydro-1,3-oxazole
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5-(4-Chloro-3-nitrophenyl)-3-methyl-1,2,4-oxadiazole
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6-(3-Bromopropyl)quinoline 476660-39-6P, 4-Chloro-2-methoxy-5-
nitrobenzoic acid ethyl ester
                                476660-43-2P, 4-Chloro-2-methyl-5-
                               476660-45-4P, 4-0xo-4-(2-oxoindolin-5-
nitrobenzoic acid propyl ester
yl)butanoic acid ethyl ester 476660-47-6P, 6-(3-Furyl)indolin-2-one
476660-51-2P, 3-[2-Methoxy-5-(methylsulfonylamino)phenyl]propionic acid
             476660-53-4P, 3-[6-Methoxy-1-(methylsulfonyl)-1,2-
dihydroquinolin-7-yl]propionic acid ethyl ester
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3-(6-Methoxyquinolin-7-yl)propionic acid ethyl ester
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4-(4-Chloro-3-nitrophenyl)-1,3-thiazole
                                          476660-61-4P,
4-Bromo-2-(dibromomethyl)benzoic acid ethyl ester
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4-Bromo-2-formylbenzoic acid ethyl ester
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4-Bromo-2-methyl-5-nitrobenzaldehyde
                                      476660-67-0P, 5-[[(4-Bromo-3-
methoxyphenyl)amino]methylene]-2,2-dimethyl-1,3-dioxane-4,6-dione
476660-69-2P, 6-Bromo-7-methoxyquinolin-4-(1H)-one
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6-Bromo-4-chloro-7-methoxyquinoline
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Dioxotetrahydro-2H-thiopyran-4-yl)methyl]isoindoline-1,3-dione
476660-75-0P, 2-(1-0xopyridin-4-yl)ethylamine
                                                476660-77-2P,
[(1,1-Dioxotetrahydro-2H-thiopyran-4-yl)methyl]amine
                                                       476660-79-4P,
[5-(Acetylamino)-4-chloro-2-nitrophenyl] malonic acid dimethyl ester
476660-81-8P, [5-(Acetylamino)-4-chloro-2-nitrophenyl]acetic acid methyl
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ester
        476660-83-0P
vl)acetamide
               476660-89-6P, N-(Quinolin-7-ylmethyl)(tetrahydrofuran-2-
ylmethyl)carbamic acid tert-butyl ester
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6-[2-(1H-1,2,3-Triazol-1-yl)ethoxy]quinoline-N-oxide
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        (preparation of 3-quinoline-2(1H)-ylideneindolin-2-one derivs. as vascular
        endothelial growth factor (VEGF) inhibitors, angiogenesis inhibitors,
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     ICS C07D231-54; C07D231-16; C07D231-18; A01N043-56
     28-8 (Heterocyclic Compounds (More Than One Hetero Atom))
     Section cross-reference(s): 5
     Herbicidal pyrazole derivatives
     phenylpyrazole prepn herbicide; azole phenyl prepn herbicide; indazole
     tetrahydrophenyl prepn herbicide
     Herbicides
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     105-45-3 609-14-3 1655-07-8
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98098-15-8P

98098-16-9P

ACCESSION NUMBER: 2002:906195 CAPLUS <<LOGINID::20080422>>

DOCUMENT NUMBER: 138:4618

TITLE: Preparation of 3-quinoline-2(1H)-ylideneindolin-2-one

derivatives as vascular endothelial growth factor

(VEGF) inhibitors

INVENTOR(S): Samizu, Kiyohiro; Hisamichi, Hiroyuki; Matsuhisa,

Akira; Kinoyama, Isao; Hayakawa, Masahiko; Taniguchi, Nobuaki; Ideyama, Yukitaka; Kuromitsu, Sadao; Yahiro,

Kiyoshi; Okada, Minoru

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 65 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	PATENT NO.					KIND DATE				APPLICATION NO.						DATE		
WO	WO 2002094809			A1 20021128			WO 2002-JP5014						20020523 <					
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US	2005	0090	498		A1		2005	0428	•	US 2	003-	4785	04		2	0031	124	
PRIORIT:	Y APP	LN.	INFO	.:						JP 2	001-	1557	61		A 2	0010	524	
									•	WO 2	002-	JP50	14	1	W 2	0020	523	
OTHER SO	OURCE	(S):			MAR	PAT	138:	4618										
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$$(R^2)_m$$
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 $N$ 
 $H$ 
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 $R^{1}_{N}$ 
 $O$ 

AB Novel 3-(1,2-dihydroquinolin-2-ylidene)indolin-2-one derivs. represented by the following general formula (I) or salts thereof [wherein A, B, E, G, J= N, CH; R1, R2 = lower alkyl, alkenyl, or alkynyl, Ra, X-(C1-8 alkylene optionally substituted by ORb)-Ra, X-C1-8 alkenylene-Ra, X-C1-8

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alkynylene-Ra, provided that R1 and R2 are not substituted on N atom; X = O, CO, CO2, O2C, S, SO, SO2, NRb, NRbSO2, SO2NRb, CONRb, NRbCO, NRbCONRb, NRbCO2, O2CNRb, a single bond; wherein Ra = halo-lower alkyl, halo, NO2, cyano, ORb, O-lower alkylene-NRbRc, CO2Rb, CORb, CONRbRc, NRbRc, NRd-lower alkylene-NRbRc, etc.; Rb, Rc, Rd = H, lower alkyl, lower alkylene-RIN; RIN = (un)substituted saturated heterocyclyl, cycloalkyl, aryl, or heteroaryl; n, m = an integer of 0-4; provided that when A, B, E, E, G, and J are simultaneously C, they are not simultaneously N] are prepared Theses compds. have excellent effects of inhibiting VEGF and angiogenesis and an antitumor effect and, therefore, are useful as appropriate VEGF inhibitors, angiogenesis inhibitors and anticancer agents. They are useful as remedies for diseases in which angiogenesis participates, e.g. solid tumors and diabetic retinopathy. Thus, 0.3 mL benzoyl chloride was added to a solution of 510 mg 6-[2-(1H-1,2,3-triazol-1-yl)ethoxy]quinoline N-oxide in 25 mL CHCl3 under ice-cooling and stirred at the same temperature

for

30 min, followed by adding 265 mg indolidin-2-one, and the resulting mixture was refluxed at 90° for 8 h to give 3-[6-[2-(1H-1,2,3-triazol-1-yl)ethoxy]quinolin-2(1H)-ylidene]isoindolin-2-one (II). II and 5-fluoro-3-(quinolin-2(1H)-ylidene)isoindolin-2-one showed IC50 of 0.14 and 0.00097  $\mu\text{M}$ , resp., for inhibiting the human recombinant VEGF-promoted uptake of [3H]thymidine in human umbilical vein endothelial cells (HUVEC).

#### IT 476659-87-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 3-quinoline-2(1H)-ylideneindolin-2-one derivs. as vascular endothelial growth factor (VEGF) inhibitors, angiogenesis inhibitors, and antitumor agents)

RN 476659-87-7 CAPLUS

CN Benzeneacetic acid, 5-(4-morpholinyl)-2-nitro- $\alpha$ -2(1H)-quinolinylidene-, ethyl ester (CA INDEX NAME)

REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:122991 CAPLUS <<LOGINID::20080422>>

DOCUMENT NUMBER: 136:183717

TITLE: Preparation of quinoline derivatives having VEGF

inhibiting activity

INVENTOR(S): Hennequin, Laurent François Andre

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 129 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.					KIND DATE			APPLICATION NO.						DATE				
WO	WO 2002012226								WO 2001-GB3553						20010808 <			-
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KΡ,	KR,	KΖ,	LC,	LK,	LR,	
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MΖ,	NO,	NZ,	$PL_{r}$	PT,	
		RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ΤJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	
		UZ,	VN,	YU,	ZA,	ZW												
	RW:	GH,	GM,	ΚE,	LS,	MW,	MΖ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,	
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	$NL_{r}$	$PT_{\prime}$	SE,	TR,	BF,	
		ΒJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	$\mathrm{ML}_{m{r}}$	MR,	NE,	SN,	TD,	TG		
CA	2415	469			A1		2002	0214	(	CA 2	001-	2415	469		2	0010	808 <	-
AU	2001	0765	36		Α		2002	0218		AU 2	001-	7653	6		2	0010	808 <	-
AU	2001	2765	36		В9		2002	0218		AU 2	001-	2765	36		2	0010	808 <	-
AU	2001	2765	36		В2		2007	0104										
EP	1313	726			A1		2003	0528	]	EP 2	001-	9541	92		2	0010	808	
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	ΑL,	TR							
BR	2001	0130	56		Α		2003	0708	]	BR 2	001-	1305	6		2	0010	808	
JP	2004	5059	64		T		2004	0226		JP 2	002-	5182	01		2	0010	808	
NZ	5233	58			Α		2004	0924	]	NZ 2	001-	5233	58		2	0010	808	
US	2003	0199	491		A1		2003	1023	1	US 2	003-	3322	74		2	0030	107	
ZA	2003	0002	17		Α		2004	0408		ZA 2	003-	217			2	0030	108	
MX	2003	PA00	252		Α		2003	0606					_			0030	109	
	2003				Α		2003	0207								0030		
ORIT	Y APP	LN.	INFO	.:					]	EP 2	000-	4022	54	i	A 2	0000	809	
									1	wo 2	001-	GB35	53	1	w 2	0010	808	
IER SO	DURCE	(S):			MAR:	PAT	136:	1837	17									

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The invention relates to I (e.g. 6-cyano-7-[3-(1,1-dioxothiomorpholino)propoxy]-4-(indol-5-ylamino)quinoline hydrochloride (1)) wherein: either any one of G1, G2, G3, G4 and G5 is N and the other four are -CH-, or G1, G2, G3, G4 and G5 are all -CH-; Z is -O-, -NH-, -S-, -CH2- or a direct bond; Z is linked to any one of G1, G2, G3 and G4; n is an integer from 0 to 5; m is an integer from 0 to 3; Ra represents H or fluoro; Rb, R1 and R2 are defined herein and salt thereof, process for the preparation of such compds., pharmaceutical compns. containing I or a pharmaceutically acceptable salt thereof as active ingredient and the use

Ι

of I in the manufacture of a medicament for the production of an antiangiogenic and/or vascular permeability reducing effect in warm-blooded animals. I and the pharmaceutically acceptable salts thereof inhibit the effects of VEGF, a property of value in the treatment of a number of diseases states including cancer and rheumatoid arthritis. Thirty-five example prepns. are included. For example, a solution of 4-chloro-6-cyano-7-[3-(1,1-dioxothiomorpholino)propoxy]quinoline (0.21 mmol) and 5-aminoindole (0.25 mmol) in 2-pentanol (2.5 mL) containing 6.2 N HCl in isopropanol (40µl) was heated at 120 °C for 3 h; after cooling, the solid was collected by filtration, washed with isopropanol followed by ether and dried under vacuum to give 1 (90 % ). Pharmacol. test procedures are described but test results for the claimed compds. are not given.

IT **398487-78-0P**, 2-(2,2-Dimethoxypropyl)-3-fluoro-4-

phthalimidonitrobenzene

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of quinoline derivs. having VEGF inhibiting activity)

RN 398487-78-0 CAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2-[3-(2,2-dimethoxypropyl)-2-fluoro-4-nitrophenyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O & O \\ \hline & N \\ \hline & CH_2-C-Me \\ \hline & O \\ \hline & O \\ \end{array}$$

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:372448 CAPLUS <<LOGINID::20080422>>

DOCUMENT NUMBER: 135:152741

TITLE: Synthesis of N-arylated oxazolidinones via a palladium

catalyzed cross coupling reaction. Application to the

synthesis of the antibacterial agent Dup-721

AUTHOR(S): Madar, D. J.; Kopecka, H.; Pireh, D.; Pease, J.;

Pliushchev, M.; Sciotti, R. J.; Wiedeman, P. E.;

Djuric, S. W.

CORPORATE SOURCE: Infectious Disease and Process Chemistry Research,

Abbott Laboratories, Abbott Park, IL, 60064-6217, USA

SOURCE: Tetrahedron Letters (2001), 42(22),

3681-3684

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 135:152741

AB A method for the intermol. coupling of aryl bromides and oxazolidinones is described. Application to intermediates useful for the preparation of a known class of antibacterial agent and the synthesis of the known antibacterial oxazolidinone Dup-721 are described.

IT 352524-65-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of N-arylated oxazolidinones via palladium catalyzed cross coupling reaction)

RN 352524-65-3 CAPLUS

CN Benzeneacetic acid, 5-[(5S)-5-[[acetyl[(2,4-dimethoxyphenyl)methyl]amino]methyl]-2-oxo-3-oxazolidinyl]-2-nitro-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:31461 CAPLUS <<LOGINID::20080422>>

DOCUMENT NUMBER: 134:100770

TITLE: Preparation of indoline or tetrahydroquinoline

derivatives as inhibitors of activated blood

coagulation factor X

INVENTOR(S): Fujimoto, Koichi; Asai, Fumitoshi; Tanaka, Naoki;

Matsuhashi, Hayao; Sugidachi, Atsuhiro; Tanimoto,

Tatsuo

PATENT ASSIGNEE(S): Sankyo Company, Ltd., Japan

SOURCE: PCT Int. Appl., 431 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATE	PATENT NO.					KIND DATE		E APPLICATION NO.					DATE					
						-			-									
WO 2	WO 2001002356					A1 20010111			WO 2000-JP4333						20000630 <			
	W:	ΑU,	BR,	CA,	CN,	CZ,	HU,	ID,	IL,	IN,	KR,	MX,	NO,	NZ,	$PL_{r}$	RU,	TR,	
		US,	ZA															
	RW:	ΑT,	BE,	CH,	CY,	DE,	DK,	ES,	FΙ,	FR,	GB,	GR,	ΙE,	ΙΤ,	LU,	MC,	NL,	
		PΤ,	SE															
JP 2	20010	726	62		Α		2001	0321	ı	JP 2	000-	1974	44		20	0000	630	<
PRIORITY	APPI	LN .	INFO	. :					,	JP 1	999-	1878	05	Ĩ	A = 1	9990	701	
OTHER SOURCE(S):					MARI	PAT	134:	1007	70									

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$$R^{1}$$
 $R^{3}$ 
 $R^{4}$ 
 $R^{4}$ 
 $R^{2}$ 
 $R^{2}$ 
 $R^{2}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{2}$ 
 $R^{2}$ 
 $R^{2}$ 

- The title compds. I [R1 is hydrogen, optionally substituted alkyl, optionally substituted alkanoyl, optionally substituted alkylsulfonyl, optionally substituted arylsulfonyl, or optionally substituted sulfamoyl; R2 is optionally substituted cycloalkyl, optionally substituted aryl, optionally substituted amino, or optionally substituted saturated cyclic amino; R3 and R4 are each hydrogen, halogeno, alkyl, alkoxy, cyano, nitro, hydroxyl, or alkanoyloxy; A is a single bond, alkylene, oxygen, or O(CH2)m (wherein m is 1 to 4); T1 = (CH2)n; and n is 1 or 2] are prepared 5-(1-Acetimidoylpiperidin-4-yloxy)-2-(7-amidinonaphthalen-2-yl)-1-methanesulfonylindoline dihydrochloride in vitro showed IC50 of 3.9 ng/mL against factor Xa. Formulations are given.
- IT 319451-10-0P 319451-47-3P
  RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of indoline or tetrahydroquinoline derivs. as inhibitors of activated blood coagulation factor X)

- RN 319451-10-0 CAPLUS
- CN 2-Naphthalenecarbonitrile, 7-[2-[5-(1,3-dioxol-2-yl)-2-nitrophenyl]-1-hydroxyethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{OH} & \text{O}_2\text{N} \\ \hline \text{CH-CH}_2 & \text{O} \\ \end{array}$$

- RN 319451-47-3 CAPLUS
- CN 1-Piperazinecarboxylic acid, 4-[3-[2-(7-cyano-2-naphthalenyl)-2-hydroxyethyl]-4-nitrophenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

$$\begin{array}{c|c} \text{OH} & & \\ \text{CH-CH}_2 & & \\ \text{O}_2\text{N} & & \\ \end{array}$$

L5 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:377820 CAPLUS <<LOGINID::20080422>>

DOCUMENT NUMBER: 126:343489

TITLE: Preparation of heteroarylindole-1-carboxamides as

cyclooxygenase-2 inhibitors

INVENTOR(S): Binder, Dieter; Weinberger, Josef; Pyerin, Michael;

Dostl, Manfred

PATENT ASSIGNEE(S): Chemisch Pharmazeutische Forschungs-Gesellschaft

m.b.H., Austria; Binder, Dieter; Weinberger, Josef;

Pyerin, Michael; Dostl, Manfred

SOURCE: PCT Int. Appl., 34 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.					KIND DATE				APPLICATION NO.						DATE			
	WO 9713767			A1 19970417			WO 1996-EP4293					19961002 <							
		W:	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CZ,	DE,	DK,	EE,	
			ES,	FI,	GB,	GE,	HU,	IL,	IS,	JP,	ΚE,	KG,	KΡ,	KR,	KΖ,	LK,	LR,	LS,	
			LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	
			SE,	SG,	SI,	SK,	ΤJ,	TM,	TR,	TT,	UA,	UG,	US,	UZ,	VN,	AM,	AZ,	BY,	
			KG,	ΚZ,	MD,	RU,	ΤJ,	TM											
		RW:	ΚE,	LS,	MW,	SD,	SZ,	UG,	AT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	
			IE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA			
	AU	9672	840			Α		1997	0430		AU 1	996-	7284	0		1	9961	002 <	
PRIO	RIT	APP	LN.	INFO	. :						AT 1	995-	1669			A 1	9951	009	
										1	WO 1	996-	EP42	93	1	w 1	9961	002	

OTHER SOURCE(S): MARPAT 126:343489

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AB Title compds. [I; A = (un) substituted heteroaryl; B = (un) substituted (hetero) aryl; R = H or CHR102CR2; R1,R2 = alkyl, aryl, alkoxy, etc.; X = H or (un) substituted (hetero) aryl] were prepared Thus, Me 5-bromo-2-nitrophenylacetate was arylated by 2-thiopheneboronic acid and the product reductively cyclized to give 1,3-dihydro-5-(2-thienyl)-2H-indol-2-one which was treated with ClSO2NCO and the product acylated with thiophene-2-carbonyl chloride to give I [A = 5-(2-thienyl), B = 2-thienyl, R = X = H]. Data for biol. activity of I were given.

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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation of heteroarylindole-1-carboxamides as cyclooxygenase-2 inhibitors)

RN 189748-07-0 CAPLUS

CN Benzeneacetic acid, 2-nitro-5-(2-thienyl)-, methyl ester (CA INDEX NAME)

RN 189748-11-6 CAPLUS

CN Propanedioic acid, [5-(2-furanyl)-2-nitrophenyl]-, dimethyl ester (9CI) (CA INDEX NAME)

RN 189748-12-7 CAPLUS

CN Benzeneacetic acid, 5-(2-furanyl)-2-nitro-, methyl ester (CA INDEX NAME)

RN 189748-22-9 CAPLUS

CN Benzeneacetic acid, 2-nitro-5-(2-thiazolyl)-, methyl ester (CA INDEX NAME)

L5 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1985:596075 CAPLUS <<LOGINID::20080422>>

DOCUMENT NUMBER: 103:196075

ORIGINAL REFERENCE NO.: 103:31600h,31601a

TITLE: Herbicidal pyrazole derivatives

INVENTOR(S): Yanagi, Mikio; Yamada, Osamu; Futatsuya, Fumio; Shida,

Atsuhiko

PATENT ASSIGNEE(S): Nippon Kayaku Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 93 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PAT	CENT N	Ο.			KINI	)	DATE		AP1	PLICA	CION NO		DATE	
							-						 -		
	EP	13852	7			A2		1985	0424	EP	1984-	-306807		19841005	<
	ΕP	13852	7			A3		1987	0603						
		R:	ΑT,	BE,	CH,	DE,	FR,	, GB,	ΙΤ,	LI, N	_				
	JΡ	60081	169			Α		1985	0509	JP	1983-	-188939		19831008	<
	JΡ	61060	658			Α		1986	0328	JP	1984-	-180365		19840831	<
	DK	84047	92			Α		1985	0409	DK	1984-	-4792		19841005	<
	BR	84050	55			Α		1985	0820	BR	1984-	-5055		19841005	<
PRIO	RITY	Y APPL	Ν. ]	INFO	. :					JP	1983-	-188939	Α	19831008	
										JP	1984-	-180365	Α	19840831	

OTHER SOURCE(S): CASREACT 103:196075; MARPAT 103:196075

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$$R^{2}$$
 $R^{3}$ 
 $R^{4}$ 
 $R^{5}$ 
 $R^{5}$ 
 $R^{5}$ 
 $R^{6}$ 
 $R^{6}$ 
 $R^{7}$ 
 $R^{7$ 

AB Arylpyrazoles I [R = alkyl; R1 = H, halogen, alkyl, alkylthio, alkylsulfonyl; RR1 = (un)substituted (CH2)n; R2 = halo, Me, alkoxy, R6S(O)m; R3 = H, halo, Me; R4 = H, halo, NO2, Me, cyano, CO2H, alkoxy, alkoxycarbonyl; R5 = CO2H, modified CO2H; R6 = alkyl; n = 3,4; m = O-2] were prepared Thus, 4,3-Cl(Me2CHO2C)C6H3NHNH2 and 2-carbethoxycyclohexanone were cyclocondensed to give 59.3% indazolone II, which was chlorinated by POCl3 to give 87.4% indazole III. Preemergent application of III to flooded paddy rice at 1.5 g/area gave 100% control of Echinochloa crus-galli without crop damage.

IT 98114-13-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 98114-13-7 CAPLUS

CN Benzeneacetic acid, 5-(3-chloro-4,5,6,7-tetrahydro-2H-indazol-2-yl)-2-nitro- $\alpha$ -oxo- (CA INDEX NAME)

L5 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1985:522665 CAPLUS <<LOGINID::20080422>>

DOCUMENT NUMBER: 103:122665

ORIGINAL REFERENCE NO.: 103:19613a,19616a

TITLE: Nucleophilic addition of silyl enol ethers to aromatic

nitro compounds: scope and mechanism of reaction

AUTHOR(S): RajanBabu, T. V.; Reddy, G. S.; Fukunaga, Tadamichi CORPORATE SOURCE: Cent. Res. Dev. Dep., E. I. du Pont de Nemours and

Co., Wilmington, DE, 19898, USA

SOURCE: Journal of the American Chemical Society (1985)

), 107(19), 5473-83

CODEN: JACSAT; ISSN: 0002-7863

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 103:122665

AB In contrast to alkali metal enolates, silyl enol ethers and ketene silyl acetals added to aromatic nitro compds. in the presence of a fluoride ion source to give intermediate dihydroarom. nitronates, which could be observed by NMR. In situ oxidation of the intermediate with Br or DDQ gave α-nitroaryl carbonyl compds. in moderate to high yields. The reaction was applicable to alkyl-, alkoxy-, and halogen-substituted nitrobenzenes as well as to heterocyclic and condensed nitroarom. compds. While substitution ortho to the nitro group predominated with sterically undemanding silyl reagents, para-substitution products were exclusively obtained with bulky reagents. However, by blocking the para position with an appropriate group such as chlorine, the addition could be directed to the ortho position. Halogen atoms of halogenated nitro aroms. and p-nitrocumenyl chloride were not displaced in the reaction, suggesting the absence of radical ion intermediates. Dihydroarom. nitro derivs. could be

isolated in some cases, such as anthracene and naphthalene systems, which are less prone to rearomatize.

IT 97522-08-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 97522-08-2 CAPLUS

CN Benzeneacetic acid, 5-cyclopropyl- $\alpha$ -methyl-2-nitro-, methyl ester (CA INDEX NAME)

AUTHOR(S):

L5 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1984:174387 CAPLUS <<LOGINID::20080422>>

DOCUMENT NUMBER: 100:174387

ORIGINAL REFERENCE NO.: 100:26513a,26516a

TITLE: Reactions of organic anions. Part 110. Vicarious

nucleophilic substitution of hydrogen in nitroarenes

with  $\alpha$ -substituted nitriles and esters. Direct

 $\alpha$ -cyanoalkylation and  $\alpha$ -

carbalkoxyalkylation of nitroarenes Makosza, Mieczyslaw; Winiarski, Jerzy

CORPORATE SOURCE: Inst. Org. Chem., Pol. Acad. Sci., Warsaw, Pol.

SOURCE: Journal of Organic Chemistry (1984), 49(9),

1494 - 9

CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 100:174387

Carbanions generated from alkanenitriles bearing  $\alpha$ -chloro,  $\alpha$ -OR (R = Me, Ph, chlorophenyl) or  $\alpha$ -SR (R = Me, Ph, Me2NCS) groups and from aliphatic esters bearing  $\alpha$ -SR groups react with mononitroarenes to replace H atoms of the nitroarom. ring ortho or para to the NO2 group with  $\alpha$ -cyanoalkyl or  $\alpha$ -carbalkoxyalkyl substituents. The nucleophilic replacement of H with such carbanions proceeds faster than substitution of halogen ortho or para to the NO2 group.

IT 89278-21-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 89278-21-7 CAPLUS

CN Benzeneacetic acid, 5-benzoyl-2-nitro- $\alpha$ -(phenylthio)-, 1,1-dimethylethyl ester (CA INDEX NAME)

L5 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1970:425136 CAPLUS <<LOGINID::20080422>>

DOCUMENT NUMBER: 73:25136

ORIGINAL REFERENCE NO.: 73:4170h,4171a

TITLE: Antiinflammatory 3-cyclohexylphenylacetic and

-propionic acids

INVENTOR(S): Bencze, William L.

PATENT ASSIGNEE(S): CIBA Ltd.

SOURCE: Ger. Offen., 82 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
DE 1946084	A	19700326	DE 1969-1946084		19690911 <
NL 6912873	A	19700320	NL 1969-12873		19690822 <
FR 2018301	A1	19700529	FR 1969-30525		19690909 <
BE 738992	A	19700317	BE 1969-738992		19690917 <
BR 6912520	D0	19730510	BR 1969-212520		19690918 <
PRIORITY APPLN. I	NFO.:		US 1968-760698	Α	19680918
			US 1969-833735	Α	19690616
			US 1969-843198	Α	19690718

AB The title compds. and their salts and esters have useful antiinflammatory activity. 3-ClC6H4MgBr (prepared from 123.5 g 3-ClC6H4Br and 15.5 g Mg in 160 ml Et2O) cooled and treated with 75.8 g cyclohexanone in 260 ml Et2O, and the mixture diluted with 200 ml Et2O, then refluxed 3 hr gave 1-(3-chlorophenyl)cyclohexanol (I), b0·2 120-30°. I (94.5

g) and 1200 ml concentrated HCl refluxed 1 hr gave

1-(3-chlorophenyl)cyclohexene

(II), b0·75-1·25 125-30°. II (69.7 g) in 200 ml AcOH hydrogenated over 5.5 g 10% Pd-C at 3.3 atm H gave 3-cyclohexylchlorobenzene (III), b13 138-41°. III (47.3 g) in 65 ml THF added slowly to a mixture of 8.4 g Mg, 37 ml THF, 0.4 ml Cl(CH2)2Cl, and 0.5 ml MeI, the mixture stirred and refluxed 16 hr, cooled, treated with 10.3 g AcH in 50 ml THF, and the whole refluxed 1 hr gave 1-(3-cyclohexylphenyl)ethanol (IV), b0·25 121-5°. Similarly was prepared 1-[3-(1-cyclohexenyl)phenyl]ethanol. IV (32.4 g), 330 ml C6H6, and 93 ml SOC12 refluxed 6 hr, the residue diluted with H2O and extracted with Et2O, the extract evaporated, the remaining chloride (34.3 g) treated with

7.67 g  $$\operatorname{\textsc{NaCN}}$  in 118 ml Me2SO, and the mixture stirred 8 hr at 65° gave

2-(3-cyclohexylphenyl)propionitrile (V), b0.25 130-52°.

Similarly was prepared 2-[3-(1-cyclohexenyl)phenyl]propionitrile (VI), b0·2 150-65°. IV (45.5 g) in 134 ml Me2CO oxidized with a mixture of 15.6 g CrO3, 25 g H2SO4, and 66.5 ml H2O gave 3-cyclohexylacetophenone (VII), b35 113-17°. Similarly was prepared 3-(1-cyclohexenyl)acetophenone; semicarbazone m. 196-8°. 2-Cyclohexylphenol (61.9 g) in 300 ml DMF treated with 16.9 g 56% NaH suspension in mineral oil, 27.6 g AcCl in 350 ml PhMe added, and the mixture stirred 6 hr at room temperature gave 2-cyclohexylphenyl acetate (VIII), b0·35-0·6 110-49°. VIII (14.7 g) added to 9.5 g AlCl3 in 20.4 ml PhNO2, and the mixture heated 3.5 hr at 85° gave 3-cyclohexyl-4-hydroxyacetophenone (IX), m. 148-9°. IX (34.7 g) in 175 ml DMF stirred, treated with 7.65 g 56% NaH suspension and dropwise with 22.6 g MeI in 175 ml PhMe, and the mixture stirred 6 hr at room temperature

gave 3-cyclohexyl-4-methoxyacetophenone, b0·2 145-55°, m. 50-1° (petroleum ether). Similar alkylation of IX with cyclopentyl bromide gave 3-cyclohexyl-4-cyclopentyloxyacetophenone, m. 56-8° (petroleum ether). VII (23.8 g) in 35 ml concentrated HCl treated at 0  $\pm$  $2^{\circ}$  with 9.4 ml HNO3 and 14.2 ml H2SO4 gave 5-cyclohexyl-2nitroacetophenone (m. 43-6°) and 3-cyclohexyl-4-nitroacetophenone (X), m. 93-5° (MeOH). X (28.4 q) in 125 ml AcOH and 100 ml 95% EtOH hydrogenated over 3.1 g 10% Pd-C gave 4-amino-3cyclohexylacetophenone (XI). XI (17.1 g), 54.4 g Br(CH2)5Br, 66 g NaHCO3, and 298 ml DMF stirred and refluxed 24 hr gave 3-cyclohexyl-4piperidinoacetophenone, b0·35 170-205°. 4-Cyclohexylphenol (12 g), 6.25 g MeCH: CHCH2Cl, 9.5 g K2CO3, and 25 ml Me2CO stirred and refluxed 8 hr gave 1-(4-cyclohexylphenoxy)-2-butene (XII), b0.35 133-45°. XII (6.7 g) and 18.3 g PhNEt2 heated 4 hr at 220° gave 3-(5-cyclohexyl-2-hydroxyphenyl)-1-butene (XIII), b0.25 133-42°. XIII (5 g) in 25 ml CH2Cl2 treated with 2.2 dihydropyran and 2 drops HCl at room temperature and the mixture kept 1 hr gave 3-(5-cyclohexyl-2-tetrahydropyranyloxyphenyl)-1-butene (XIV). VII (10.1 q), 1.8 q S, 9 ml morpholine, and 0.25 q 4-MeC6H4SO3H refluxed 6 hr, the mixture diluted with H2O, extracted with Et2O, the extract evaporated, and the

thiomorpholide (16.1 g) refluxed 24 hr with 150 ml 10% aqueous KOH and 150 ml HO(CH2)2OH gave 3-cyclohexylphenylacetic acid, m. 83-6° (petroleum ether and C6H14). Similarly were obtained: 3-(1-cyclohexenyl)phenylacetic acid (XV),  $b0.25 \ 160-90^{\circ}$ , m.  $64-6^{\circ}$  (C6H14); 3-cyclohexyl-4-hydroxyphenylacetic acid, b0·25 202-10°, m. 122-3° (C6H6-C6H14); 3-cyclohexyl-4-cyclopentyloxyphenylacetic acid, m. 112-13° (C6H14); and 3-cyclohexyl-4-piperidinophenylacetic acid. XV (3.9 g) in 80 ml EtOH treated with 20 ml. saturated HCl in EtOH, and the mixture refluxed 24 hr gave Et 3-(1-cyclohexenyl)phenylacetate (XVI). Similarly were prepared: Et 3-cyclohexyl-4-methoxyphenylacetate, b0.2155-70°; Et 3-cyclohexyl-4-cyclopentyloxyphenylacetate; and Et 3-cyclohexyl-4-piperidinophenylacetate. XVI (4 g) in 8 ml Et2O added to a mixture of 64 ml liquid NH3, 0.415 g Na and 1 crystal of Fe(NO3)3.9H2O, the mixture kept 20 min, treated with 2.56 g MeI in 8 ml Et20, and kept 3 hr in a solid CO2 bath gave Et 2-[3-(1-cyclohexenyl)phenyl]propionate (XVII), b0.15 135-45°. Similarly were prepared: Et 2-(3-cyclohexyl-4-methoxyphenyl) propionate, b0·2 150-75°, and Et 2-(3-cyclohexyl-4-cyclopentyloxyphenyl)propionate, b0.3 178-92°. XVII (3.2 g), 60 ml MeOH, and 2.6 g KOH kept 2 days at room temperature gave 2-[3-(1-cyclohexenyl)phenyl]propionic acid (XVIII), b0.25 158-65°. Similarly were prepared: 2-(3-cyclohexyl-4methoxyphenyl)propionic acid (XIX), m. 107-10° (C6H14); 2-(3-cyclohexyl-4-cyclopentyloxyphenyl) propionic acid, m. 113-15°;

and 2-(3-cyclohexyl-4-piperidinophenyl) propionic acid. VI (14.2 g), 130 ml HO(CH2)2OH, and 90 ml 50° aqueous NaOH refluxed 24 hr gave XVIII; cyclohexylamine salt m. 138-9°. XIX (0.7 g), 25 ml 48% HBr, and 20 ml AcOH refluxed 2 hr gave 2-(3-cyclohexyl-4-hydroxyphenyl)propionic acid, m.  $128-30^{\circ}$  (C6H6C6H14). V (10 g), 10 ml AcOH, 10 ml H2SO4, and 10 ml H2O refluxed 2 hr gave 2-(3-cyclohexylphenyl)propionic acid, b0·25 160-4°, m. 52-4°. This (11 g) in 11 ml Ac20 stirred and treated dropwise with 2.2 ml fuming HNO3 in 6 ml Ac2O at 10°, and the mixture kept 16 hr at room temperature gave 2-(3-cyclohexyl-6-nitrophenyl) propionic acid, m. 149-51° (Et20-C6H14). VI (6.1 q) in 210 ml DMF treated with 1.38 q 56% NaH suspension, methylated with 4.11 q MeI in 210 ml PhMe, and the crude product hydrolyzed with NaOH in aqueous HO(CH2)2OH gave 2-[3-(1cyclohexenyl)phenyl]-2-methylpropionic acid, b0·1 155-65°, m. 96-8° (C6H14). XIV (6 q), 18 q MqSO4, 34.2 q NaIO4, 0.424 q KMnO4, and 1000 ml 50% aqueous tert-BuOH stirred 20 hr at room temperature, kept 16 hr, and decomposed with 2N H2SO4 gave 2-(5-cyclohexyl-2hydroxyphenyl) propionic acid. 27163-70-8P RL: SPN (Synthetic preparation); PREP (Preparation)

ΤТ

(preparation of)

27163-70-8 CAPLUS RN

Hydratropic acid, 5-cyclohexyl-2-nitro- (8CI) (CA INDEX NAME) CN

=> d his

L1

(FILE 'HOME' ENTERED AT 08:34:48 ON 22 APR 2008)

FILE 'REGISTRY' ENTERED AT 08:35:00 ON 22 APR 2008

STRUCTURE UPLOADED

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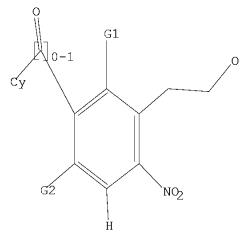
L421 S L3

9 S L4 AND PY<=2002 L50 S L5 AND PHOTO? L60 S L5 AND PROTECT? Ь7

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L1 HAS NO ANSWERS

L1STR



G1 NO2,X,H
G2 G1,CN,MeO,Ak

Structure attributes must be viewed using STN Express query preparation.

=> logoff hold COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 56.85 235.88 SINCE FILE DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) TOTAL ENTRY SESSION CA SUBSCRIBER PRICE -7.20-7.20

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STN INTERNATIONAL SESSION SUSPENDED AT 08:37:48 ON 22 APR 2008

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### PASSWORD:

\* \* \* \* \* RECONNECTED TO STN INTERNATIONAL \* \* \* \* \* \* SESSION RESUMED IN FILE 'CAPLUS' AT 08:41:08 ON 22 APR 2008 FILE 'CAPLUS' ENTERED AT 08:41:08 ON 22 APR 2008 COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	56.85	235.88
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	ENTRY	SESSION

nucleosides)

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=> d his
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        678121 PROTECT?
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     8 ANSWERS
                  CAPLUS COPYRIGHT 2008 ACS on STN
\Gamma8
CC
     33-9 (Carbohydrates)
     Section cross-reference(s): 6
     New types of very efficient photolabile protecting
TI
     groups based upon the [2-(2-nitrophenyl)propoxy]carbonyl (NPPOC) moiety
     protective group nitrophenylpropoxycarbonyl NPPOC nucleoside
ST
     prepn photochem bond cleavage; photolabile
     protecting group nitrophenylpropoxycarbonyl NPPOC nucleoside prepn
     bio chip
IT
     Photolysis
       Protective groups
        (efficient photolabile protecting groups based upon
        the [2-(2-nitrophenyl)propoxy] carbonyl (NPPOC) moiety in preparation of
        nucleosides)
     Nucleosides, preparation
IT
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (efficient photolabile protecting groups based upon
        the [2-(2-nitrophenyl)propoxy]carbonyl (NPPOC) moiety in preparation of
        nucleosides)
ТТ
     Photolysis
        (photochem. bond cleavage; efficient photolabile
        protecting groups based upon the [2-(2-
        nitrophenyl)propoxy]carbonyl (NPPOC) moiety in preparation of nucleosides)
IT
     Bond cleavage
        (photochem.; efficient photolabile
        protecting groups based upon the [2-(2-
        nitrophenyl)propoxy]carbonyl (NPPOC) moiety in preparation of nucleosides)
     20898-85-5P, 2-Thiophenemethanol, 5-nitro- 99972-57-3P 100476-16-2P,
IT
     Benzenemethanol, \alpha, \alpha-dimethyl-2-nitro-
     RL: BYP (Byproduct); PREP (Preparation)
        (efficient photolabile protecting groups based upon
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the [2-(2-nitrophenyl)propoxy]carbonyl (NPPOC) moiety in preparation of

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RL: CAT (Catalyst use); USES (Uses)
        (efficient photolabile protecting groups based upon
        the [2-(2-nitrophenyl)propoxy]carbonyl (NPPOC) moiety in preparation of
        nucleosides)
IT
     50-89-5, Thymidine, reactions
                                     71-43-2, Benzene, reactions
                                                                    91-57-6
     98-80-6, Phenylboronic acid
                                  100-61-8, N-Methylphenylamine, reactions
                                  108-98-5, Thiophenol, reactions
     108-18-9, Diisopropylamine
                                                                     122-39-4,
                                333-27-7
                                           939-27-5
                                                      1969-72-8
                                                                   1975-44-6,
     Diphenylamine, reactions
     1-Naphthalenecarboxylic acid, 5-nitro-
                                              2001-16-3
                                                           2216-13-9
                                                                       2530-09-8
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     4212-33-3
                             5720-07-0, 4-Methoxyphenylboronic acid
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     6165-68-0
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                               19353-86-7, Naphthalene, 1,2,3,4-tetrahydro-6-
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     nitro-
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        (efficient photolabile protecting groups based upon
        the [2-(2-nitrophenyl)propoxy]carbonyl (NPPOC) moiety in preparation of
        nucleosides)
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ΤТ
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                   19190-46-6P
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                    392303-95-6P, Acetamide, n-(4-ethyl-2,3-dinitrophenyl)-
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```

32005-36-0, Bis (dibenzylideneacetone) palladium

IT

```
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (efficient photolabile protecting groups based upon
       the [2-(2-nitrophenyl)propoxy] carbonyl (NPPOC) moiety in preparation of
       nucleosides)
ΙT
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       nucleosides)
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\Gamma8
     8 ANSWERS
CC
    33-9 (Carbohydrates)
    Section cross-reference(s): 22
    Recent highlights on photolytic oligonucleotide array in situ
TΤ
    synthesis
    protective group oligonucleotide combinatorial nitrobenzyl
ST
    nitrophenylethyl
IT
    Combinatorial library
      Protective groups
        (recent highlights on photolytic oligonucleotide array in
       situ synthesis)
ΙT
    Oligodeoxyribonucleotides
    RL: CPN (Combinatorial preparation); PNU (Preparation, unclassified); CMBI
     (Combinatorial study); PREP (Preparation)
        (recent highlights on photolytic oligonucleotide array in
       situ synthesis)
IT
    189216-59-9P 748789-44-8P 868157-70-4P 868157-71-5P
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        (recent highlights on photolytic oligonucleotide array in
       situ synthesis)
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1
\Gamma8
      8 ANSWERS
                 CAPLUS COPYRIGHT 2008 ACS on STN
IC
    ICM B01J019-00
    ICS C07H019-00; C07H021-00
    25-22 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
CC
    Section cross-reference(s): 3, 74
TI
    Efficient photolithographic synthesis of DNA-chips by
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702644-45-9P 702644-46-0P 702644-47-1P

702644-17-5P

```
photosensitization
ST
     intramol energy transfer cleavage labile protecting group;
     photosensitizer thioxanthone acridone DNA chip
     photosensitization photolithog; thioxanthone sensitized
     photodeprotection thymidine photolysis;
     photodeprotection triplet sensitizer photolithog
     synthesis DNA chip; triplet sensitized photodeprotection
     oligonucleotide microarray chip
IT
     Photolysis
        (UV, photolabile protecting group cleavage by;
        photolithog. synthesis of DNA-chips by
        photosensitization)
IT
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IT
     Intramolecular energy transfer
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        by; photolithog. synthesis of DNA-chips by
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IT
     Excited singlet state
        (in the triplet system, sensitizer synthon changes via intersystem
        crossing (ISC) from and relaxes in the lowest excited triplet state;
        photolithog. synthesis of DNA-chips by
        photosensitization)
    Electronic energy transfer
ΤТ
        (intramol., photolabile protecting group cleavage
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        photosensitization)
IT
     Photolysis
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        protecting group cleavage by; photolithog. synthesis
        of DNA-chips by photosensitization)
ΙT
     Bond cleavage
        (photochem., photolabile protecting group
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        photosensitization)
IT
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       Photochemistry
        (photolabile protecting group cleavage by;
        photolithog. synthesis of DNA-chips by
        photosensitization)
IT
     DNA microarray technology
     Light sensitization
       Photolithography
        (photolithog. synthesis of DNA-chips by
        photosensitization)
IT
     Intersystem crossing
        (sensitizer synthon changes from an excited singlet state in the
        triplet system and relaxes in the lowest excited triplet state;
        photolithog. synthesis of DNA-chips by
        photosensitization)
IT
     Excited triplet state
        (sensitizer synthon changes via intersystem crossing (ISC) from an
        excited singlet state in the triplet system and relaxes in;
        photolithog. synthesis of DNA-chips by
        photosensitization)
IT
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                                     75-65-0, tert-Butanol, reactions
     106-95-6, Allyl bromide, reactions 106-96-7, Propargyl bromide
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108-95-2, Phenol, reactions 147-93-3, Thiosalicylic acid
                                                                  619-64-7
     4-Ethylbenzoic acid
                         3970-21-6 10270-37-8, 5'-0-(4-
     Nitrophenyloxycarbonyl)thymidine 18162-48-6, tert-
     Butyldimethylchlorosilane
                                20077-10-5, 2-Bromothioxanthone
                                                                   30095-98-8,
     o-Nitrophenylacetic acid methyl ester
                                           30525-89-4, Paraformaldehyde
     33923-98-7, 2-Amino-9H-thioxanthen-9-one 102691-36-1,
     Bis (diisopropylamino) -2-cyanoethoxyphosphane
                                                    201733-56-4
                                                                  335201-57-5,
     2-(4-Bromo-2-nitrophenyl)propanol
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (photolithog. synthesis of DNA-chips by
        photosensitization)
     31696-67-0P, 2-Hydroxy-9H-thioxanthen-9-one 103440-95-5P,
ΤТ
     4-Ethyl-3-nitrobenzoic acid 193087-05-7P, 2-Iodo-9H-thioxanthen-9-one
     274676-13-0P
                   702642-66-8P, 4-Ethyl-3-nitrobenzoic acid tert-butyl ester
     702643-08-1P, 2-(4-tert-Butoxycarbonyl-2-nitrophenyl) propanol
     777864-66-1P, 2-(2-Nitrophenyl)pent-4-ynoic acid methyl ester
     777864-67-2P, 2-(2-Nitrophenyl)-4-pentyn-1-ol
                                                     777864-68-3P,
     2-(2-Nitrophenyl)-5-(9-oxothioxanthen-2-yl)-4-pentyn-1-ol
                                                                 777864-69-4P,
     5'-0-[2-(Nitrophenyl)-5-(9-oxothioxanthen-2-yl)pent-4-
     ynyloxycarbonyl]thymidine
                                777864-70-7P, 4-[2-(2-Methoxyethoxymethoxy)-1-
     methylethyl]-3-nitrobenzoic alcohol acid tert-butyl ester
     4-[2-(2-Methoxyethoxymethoxy)-1-methylethyl]-3-nitrobenzoic acid
     777864-73-0P, 4-[2-(2-Methomyethoxymetholoy)-1-methylethyl]-3-nitrobenzoic
     acid 9-oxo-9H-thioamnthen-2-yl ester 777864-74-1P, 4-(2-Hydroxy-1-
     methylethyl)-3-nitrobenzoic acid 9-oxo-9H-thioxanthen-2-yl ester
     777864-76-3P, 2-(5,5-Dimethyl-1,3,2-dioxaborinan-2-yl)-9H-thioxanthen-9-
     one 777864-77-4P, 2-[3-(1-Hydroxyprop-2-yl)-4-nitrophenyl]-9H-
     thioxanthen-9-one 777864-78-5P, 5-0'-[2-[5-(9-0xo-9H-thioxanthen-
     2-yl)-2-nitrophenyl]propoxycarbonyl]thymidine 777864-80-9P,
     2-(2-Nitrophenyl)pent-4-en-1-ol
                                      777864-83-2P, 2-[5-(tert-
     Butyldimethylsilyl)oxy-4-(2-nitrophenyl)pentyl]-9H-thioxanthen-9-one
     777864-84-3P, 2-[5-Hydroxy-4-(2-nitrophenyl)pentyl]-9H-thioxanthen-9-one
     777864-86-5P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (photolithog. synthesis of DNA-chips by
        photosensitization)
     777864-75-2P, 5-0'-[2-[4-(9-0xo-9H-thioxanthen-2-yl)carbonyl-2-
     nitrophenyl]propoxycarbonyl]thymidine 777864-79-6P
     777864-81-0P, 1-[(\text{tert-Butyldimethylsi}]y]) oxy]-2-(2-\text{nitrophenyl}) pent-4-ene
     855743-26-9P, 5'-O-[(2-Nitrophenyl)-5-(9-oxo-9H-thioxanthen-2-
     yl)pentyloxyearbonyl]thymidine
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (photolithog. synthesis of DNA-chips by
        photosensitization)
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1
      8 ANSWERS
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CC
     74-1 (Radiation Chemistry, Photochemistry, and Photographic and Other
     Reprographic Processes)
     Section cross-reference(s): 22
     On the Mechanism of Intramolecular Sensitization of Photocleavage
TI
     of the 2-(2-Nitrophenyl)propoxycarbonyl (NPPOC) Protecting Group
ST
     intramol electronic energy transfer thioxanthone
     nitrophenylpropoxycarbonyl conjugate; triplet energy transfer intramol
     thioxanthone nitrophenylpropoxycarbonyl conjugate photolysis
IT
     Protective groups
```

```
((nitrophenyl)propoxycarbonyl; mechanism of intramol. sensitization of
        photocleavage of (nitrophenyl)propoxycarbonyl
        protecting group)
IT
     Triplet state transition
        (from first and second triplet; mechanism of intramol. electronic
        energy transfer in thioxanthone/(nitrophenyl)propoxycarbonyl
        conjugates)
     Fluorescence
IT
        (mechanism of intramol. electronic energy transfer in
        thioxanthone/(nitrophenyl)propoxycarbonyl conjugates)
IT
     Flash photolysis
        (mechanism of intramol. sensitization of photocleavage of
        (nitrophenyl)propoxycarbonyl protecting group from conjugates
        with thioxanthone)
ΙT
     Optical absorption
        (transient; mechanism of intramol. sensitization of
        photocleavage of (nitrophenyl)propoxycarbonyl
       protecting group from conjugates with thioxanthone)
IT
     Triplet state
        (triplet-triplet energy transfer; mechanism of intramol. electronic
        energy transfer in thioxanthone/(nitrophenyl)propoxycarbonyl
        conjugates)
IT
     Energy transfer
     Intramolecular energy transfer
        (triplet-triplet; mechanism of intramol. electronic energy transfer in
        thioxanthone/(nitrophenyl)propoxycarbonyl conjugates)
     586-78-7, 4-Bromonitrobenzene
                                     7766-48-5
                                                 7766-51-0
                                                            10270-37-8
ΙT
     20077-10-5
                  23117-71-7
                               30095-98-8
                                            31696-67-0
                                                         38380-55-1
     201733-56-4
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (mechanism of intramol. electronic energy transfer in
        thioxanthone/(nitrophenyl)propoxycarbonyl conjugates)
                   777864-75-2 777864-78-5
IT
     777864-69-4
                                             855743-25-8
     855743-26-9
                 855743-29-2
     RL: PEP (Physical, engineering or chemical process); PRP (Properties);
     PROC (Process)
        (mechanism of intramol. sensitization of photocleavage of
        (nitrophenyl)propoxycarbonyl protecting group from conjugates
        with thioxanthone)
     957003-47-3P
                    957003-52-0P
                                   957003-55-3P
                                                  957003-56-4P
IT
     RL: PEP (Physical, engineering or chemical process); PRP (Properties); SPN
     (Synthetic preparation); PREP (Preparation); PROC (Process)
        (mechanism of intramol. sensitization of photocleavage of
        (nitrophenyl) propoxycarbonyl protecting group from conjugates
        with thioxanthone)
ΙT
     957004-03-4P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (reaction with bromonitrobenzene)
     904307-59-1P
                    957003-69-9P
ΤТ
     RL: PEP (Physical, engineering or chemical process); PRP (Properties); SPN
     (Synthetic preparation); PREP (Preparation); PROC (Process)
        (reference compound; mechanism of intramol. sensitization of
        photocleavage of (nitrophenyl) propoxycarbonyl
       protecting group from conjugates with thioxanthone)
IT
     778640-87-2P
                   957003-73-5P 957003-75-7P 957003-77-9P
                                                                 957003-79-1P
     957003-81-5P
                    957003-83-7P
                                   957003-85-9P
                                                  957003-87-1P
                                                                 957003-90-6P
     957003-94-0P 957003-97-3P 957004-00-1P 957004-02-3P 1007106-57-1P
```

```
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (synthesis of thioxanthone-(nitrophenyl)propoxycarbonyl conjugates)
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1
      8 ANSWERS
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     ICM C07H019-04
IC
     ICS C07H021-00
CC
     33-9 (Carbohydrates)
     Photolabile protecting groups in synthesis of
TI
     nucleosides
     protecting group nucleoside synthesis bond cleavage
ST
IT
     Bond cleavage
       Protective groups
        (photolabile protecting groups in synthesis of
        nucleosides)
IT
     Oligodeoxyribonucleotides
     RL: PNU (Preparation, unclassified)
        (photolabile protecting groups in synthesis of
        nucleosides)
     Nucleosides, preparation
IT
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (photolabile protecting groups in synthesis of
        nucleosides)
     50-89-5, Thymidine, reactions
                                     147-93-3
                                                148582-37-0 189216-59-9
IT
     748789-44-8 868157-71-5
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (photolabile protecting groups in synthesis of
        nucleosides)
     868157-67-9P
                   868157-68-0P
                                   868157-69-1P
                                                 868157-70-4P
ΤТ
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (photolabile protecting groups in synthesis of
        nucleosides)
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end
=> d 18 1- ti
YOU HAVE REQUESTED DATA FROM 8 ANSWERS - CONTINUE? Y/(N):v
     ANSWER 1 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN
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TI
     On the Mechanism of Intramolecular Sensitization of Photocleavage
     of the 2-(2-Nitrophenyl)propoxycarbonyl (NPPOC) Protecting Group
     ANSWER 2 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN
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     Highly efficient photolabile protecting groups with
TI
     intramolecular energy transfer
     ANSWER 3 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN
\Gamma8
     Recent highlights on photolytic oligonucleotide array in situ
TI
     synthesis
     ANSWER 4 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN
\Gamma8
     Photolabile protecting groups in synthesis of
TI
     nucleosides
```

1007106-60-6P

- L8 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN
- TI Synthesis of caged nucleosides with photoremovable
  protecting groups linked to intramolecular antennae
- L8 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN
- TI Efficient **photolithographic** synthesis of DNA-chips by **photosensitization**
- L8 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN
- TI Novel **photolabile protective** groups for improved processes to prepare oligonucleotide arrays
- L8 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN
- TI New types of very efficient <u>photolabile protecting</u> groups based upon the [2-(2-nitrophenyl)propoxy]carbonyl (NPPOC) moiety

=> d 18 ibib abs

L8 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1044738 CAPLUS <<LOGINID::20080422>>

DOCUMENT NUMBER: 147:551051

TITLE: On the Mechanism of Intramolecular Sensitization of

Photocleavage of the 2-(2-

Nitrophenyl) propoxycarbonyl (NPPOC) Protecting

Group

AUTHOR(S): Woell, Dominik; Laimgruber, Stefan; Galetskaya,

Marina; Smirnova, Julia; Pfleiderer, Wolfgang; Heinz,

Bjoern; Gilch, Peter; Steiner, Ulrich E.

CORPORATE SOURCE: Fachbereich Chemie, Universitaet Konstanz, Konstanz,

78465, Germany

SOURCE: Journal of the American Chemical Society (2007),

129(40), 12148-12158

CODEN: JACSAT; ISSN: 0002-7863

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 147:551051

A spectroscopic study of a variety of covalently linked thioxanthone (TX) -linker-2-(2-nitrophenyl) propoxycarbonyl (NPPOC) -substrate conjugates is presented. The TX chromophore functions as an intramol. sensitizer to the NPPOC moiety, a photolabile protecting group used in *photolithog*. DNA chip synthesis. The rate of electronic energy transfer between TX and NPPOC was quantified by means of stationary fluorescence as well as nanosecond and femtosecond time-resolved laser spectroscopy. A dual mechanism of triplet-triplet energy transfer has been observed comprising a slower mechanism involving the  $T1(\pi\pi^*)$  state of TX with linker-length-dependent time consts. longer than 20 ns and a fast mechanism with linker-length-dependent time consts. shorter than 3 ns. Evidence is provided that the latter mechanism is due to energy transfer from the T2( $n\pi^*$ ) state which is in fast equilibrium with the fluorescent  $S1(\pi\pi^*)$  state. In the case of direct linkage between the aromatic rings of TX and NPPOC, the spectroscopic properties are indicative of one united chromophore which, however, still shows the typical NPPOC cleavage reaction triggered by intramol. hydrogen atom transfer to the nitro group.

REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS

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